

PATENT ABSTRACTS OF JAPAN

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A61P 9/10
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A61P 19/02
A61P 27/02
A61P 29/00
A61P 35/00
C07D413/12
C07D417/12

(21)Application number : 2002-126869

(71)Applicant : KIRIN BREWERY CO LTD

(22)Date of filing : 26.04.2002

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HASEGAWA KAZUMASA

(30)Priority

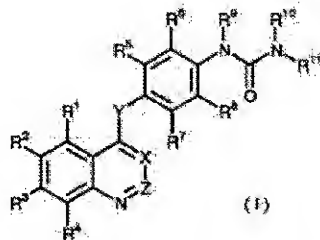
Priority number : 2001132775 Priority date : 27.04.2001 Priority country : JP

(54) QUINOLIN DERIVATIVE HAVING AZOLYL GROUP AND QUINAZOLIN DERIVATIVE

(57)Abstract:

PROBLEM TO BE SOLVED: To provide a compound having strong antitumor activities.

SOLUTION: This compound is the one represented by formula (I) (wherein, X and Z are each CH or N; Y is O or S; R1, R2, and R3 are each H, an alkoxy or the like; R4 is H; R5, R6, R7 and R8 are each H, a halogen, an alkoxy, or the like; R9 and R10 are each H, an alkyl, or the like; R11 is an azolyl which may be substituted), or a pharmaceutically acceptable salt or solvate thereof.



(19) 日本国特許庁 (J P)

(12) 公開特許公報 (A)

(11) 特許出願公開番号

特開2003-12668

(P2003-12668A)

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(51) Int.Cl. ⁷	識別記号	F I	テームコード (参考)
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A 6 1 K 31/4709		A 6 1 K 31/4709	4 C 0 8 6
	31/517	31/517	
A 6 1 P 3/10		A 6 1 P 3/10	
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(21) 出願番号 特願2002-126869 (P2002-126869)

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(33) 優先権主張国 日本 (J P)

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(74) 代理人 100075812

弁理士 吉武 賢次 (外3名)

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(54) 【発明の名称】 アゾリル基を有するキノリン誘導体およびキナゾリン誘導体

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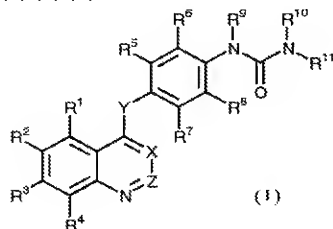
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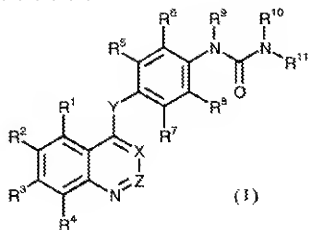
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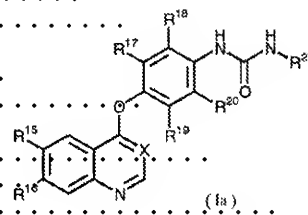
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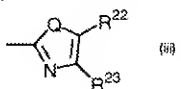
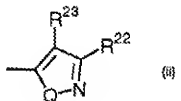
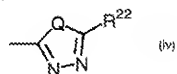
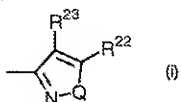
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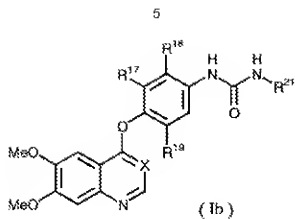


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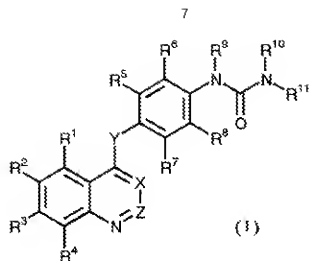
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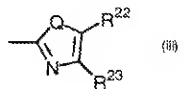
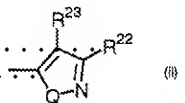
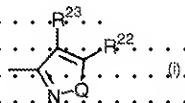
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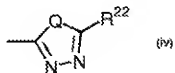
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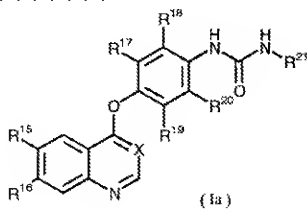


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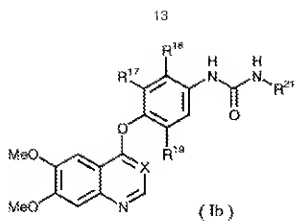
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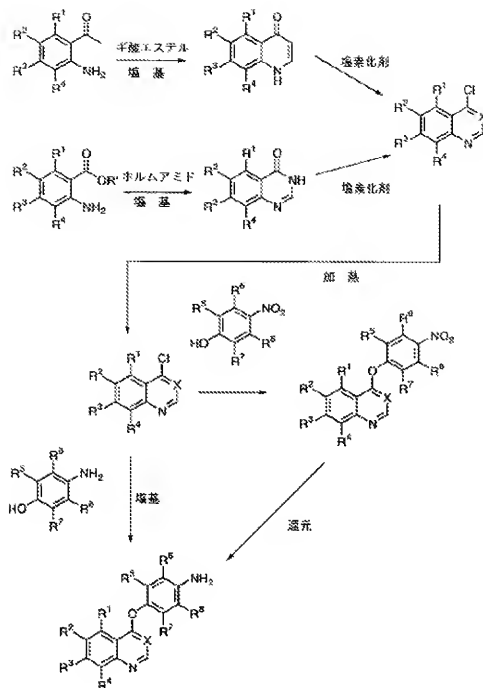
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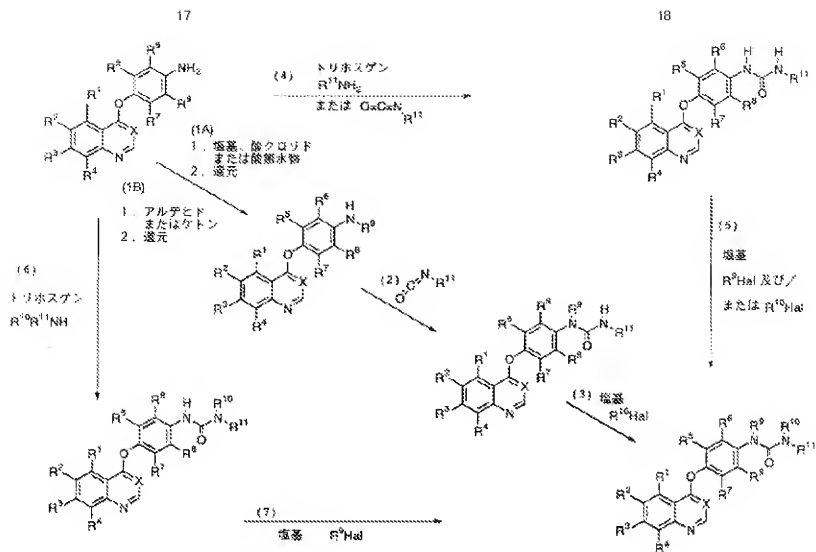
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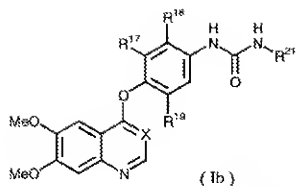
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実施例	X	R ¹⁷	R ¹⁸	R ¹⁹	R ²¹	G	R ²²	R ²³
1	CH	C1	H	H	(1)	O	H	H
2	CH	C1	H	H	(11)	O	CH ₃	H
3	CH	F	H	H	(1)	O	H	H
4	CH	H	C1	H	(1)	O	CH ₃	H
5	CH	H	C1	H	(11)	O	CH ₃	H
6	CH	H	F	H	(11)	O	CH ₃	H
7	CH	F	H	H	(11)	O	CH ₃	H
8	CH	F	H	H	(1)	O	CH ₃	H
9	CH	H	F	H	(1)	O	CH ₃	H
10	N	H	H	H	(1)	O	CH ₃	H
11	N	H	H	H	(11)	O	CH ₃	H
12	N	H	C1	H	(1)	O	CH ₃	H
13	N	H	C1	H	(11)	O	CH ₃	H
14	N	C1	H	H	(1)	O	CH ₃	H
15	S	C1	H	H	(11)	O	CH ₃	H
16	N	H	H	H	(11)	S	CH ₃	H
17	N	H	C1	H	(11)	S	CH ₃	H
18	N	C1	H	H	(11)	S	CH ₃	H
19	CH	C1	H	H	(11)	NH	H	H
20	CH	H	F	H	(11)	NH	H	H
21	CH	H	F	H	(11)	S	CH ₃	H
22	CH	H	C1	H	(11)	S	H	CH ₃
23	CH	H	F	H	(11)	S	CH ₃	CH ₃
24	CH	H	C1	H	(11)	S	CH ₃	CH ₃
25	CH	C1	H	H	(11)	S	CH ₃	CH ₃
26	CH	H	CF ₃	H	(11)	S	CH ₃	CH ₃
27	CH	H	F	H	(11)	S	H	H
28	CH	H	F	H	(11)	S	H	CH ₃
29	CH	H	F	H	(11)	S	H	A
30	CH	H	F	H	(11)	S	H	CH ₃
31	CH	H	C1	H	(11)	S	H	A
32	CH	H	C1	H	(11)	S	H	CH ₃
33	CH	H	C1	H	(11)	S	H	CH ₃
34	CH	H	C1	H	(11)	S	C1	H

35	CH	H	F	H	(iii)	S	Br	H
36	CH	H	F	H	(iii)	S	Ac	CH ₃
37	CH	H	F	H	(iii)	S	Cl	H
38	N	H	Cl	H	(iii)	S	H	H
39	N	H	Cl	H	(iii)	S	CH ₃	H
40	N	H	Cl	H	(iii)	S	CH ₃	CH ₃
41	N	H	Cl	H	(iii)	S	H	CH ₃
42	N	H	H	H	(iii)	S	H	H
43	N	H	H	H	(iii)	S	CH ₃	H
44	N	H	H	H	(iii)	S	H	CH ₃
45	N	H	H	H	(iii)	S	CH ₃	CH ₃
46	N	Cl	H	H	(iii)	S	H	H
47	N	Cl	H	H	(iii)	S	CH ₃	H
48	N	Cl	H	H	(iii)	S	H	CH ₃
49	N	Cl	H	H	(iii)	S	CH ₃	CH ₃
50	CH	H	H	H	(iv)	S	CF ₃	H
51	CH	H	F	H	(iv)	S	CF ₃	H
52	CH	F	H	H	(iv)	S	CF ₃	H
53	CH	CH ₃	CH ₃	H	(iv)	S	CF ₃	H
54	CH	H	H	H	(iv)	S	CH ₃	H
55	CH	H	CH ₃	H	(iv)	S	CH ₃	H
56	CH	CH ₃	H	H	(iv)	S	CH ₃	H
57	CH	CH ₃	CH ₃	H	(iv)	S	CH ₃	H
58	CH	H	F	H	(iv)	S	CH ₃	H
59	CH	H	H	H	(iv)	S	Et	H
60	CH	H	CH ₃	H	(iv)	S	Et	H
61	CH	CH ₃	H	H	(iv)	S	Et	H
62	CH	CH ₃	CH ₃	H	(iv)	S	Et	H
63	CH	H	F	H	(iv)	S	Et	H
64	CH	F	H	H	(iv)	S	Et	H
65	CH	H	Cl	H	(iv)	S	Et	H
66	CH	Cl	H	H	(iv)	S	Et	H
67	CH	H	Cl	H	(iv)	S	cPr	H
68	CH	Cl	H	H	(iv)	S	cPr	H
69	CH	H	CH ₃	CH ₃	(iv)	S	cPr	H

70	CH	H	F	H	(iv)	S	EtS	H
71	CH	CH ₃	CH ₃	H	(iv)	S	EtS	H
72	CH	H	Cl	H	(iv)	S	CF ₃	H
73	CH	Cl	H	H	(iv)	S	CF ₃	H
74	CH	H	F	H	(iv)	S	EtS	H
75	CH	CH ₃	CH ₃	H	(iv)	S	EtS	H

25

A grid of dots for handwriting practice. The grid consists of 10 rows of dots. The first row has a solid line above it and a dashed line below it. The remaining rows have only a dashed line below them. The dots are arranged in a regular grid pattern.

	TGIR (%)
实施例 3	39.5
实施例 4	55.4
实施例 5	29.5
实施例 6	29.3
实施例 9	63.5
实施例 21	66.6
实施例 22	43.8
实施例 23	51.7
实施例 24	39.8
实施例 25	18.8
实施例 28	66.3
实施例 29	66.1
实施例 38	92.0
实施例 39	64.0
实施例 40	34.2
实施例 50	11.9
实施例 51	45.6
实施例 52	20.7
实施例 53	14.4
实施例 58	13.4
实施例 69	23.3

化合物	投与用量 (mg/kg)	TGIR (%)
4	0.2	52
4	0.5	80
4	1	88
27	5	82
28	5	59
38	5	78

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总细胞	投与用量 (mg/kg)	TGIR (%)
LS174T	5	65
A549	20	65